10697547 6/16/06

=> logoff

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:Y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 110.07 277.22

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY

CA SUBSCRIBER PRICE -15.75 -15.75

STN INTERNATIONAL LOGOFF AT 15:34:13 ON 16 JUN 2006

2-3	CI	2-4	J. Om
2-5	S OH		

Cpd	Structure (parent)	Name	Retention Time (min)	MS ESI (m/z)
2-1		3-trityl- 5,6,7,8,9,10- hexahydro[1,2,4] triazolo[4,3- a]azocine	2.98	394.3
2-2		3-[1-(4-methylphenyl)cyclo hexyl]-5,6,7,8,9,10- hexahydro[1,2,4]tri azolo[4,3-a]azocine	2.68	324.3
2-3		3-[1-(4-chlorophenyl)cyclo hexyl]-5,6,7,8,9,10-hexahydro[1,2,4]tri azolo[4,3-a]azocine	2.71	344.2

chain nodes : 11 ring nodes : 1 2 3 4 5 6 7 8 12 13 14 15 16 17 chain bonds : 2-11 11-12 ring bonds : 1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8 12-13 12-17 13-14 14-15 15-16 16-17 exact/norm bonds : 1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8 exact bonds : 2-11 11-12 normalized bonds : 12-13 12-17 13-14 14-15 15-16 16-17 isolated ring systems : containing 12 :

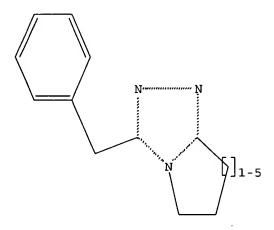
## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom

. . .

# L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:30:17 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -27 TO ITERATE

100.0% PROCESSED

27 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

229 TO 851

PROJECTED ANSWERS:

7 TO

298

L2

7 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 15:30:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 592 TO ITERATE

100.0% PROCESSED

592 ITERATIONS

97 ANSWERS

SEARCH TIME: 00.00.01

L3

97 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

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Page 4 saeed

10697547 6/16/06

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FILE COVERS 1907 - 16 Jun 2006 VOL 144 ISS 26 FILE LAST UPDATED: 15 Jun 2006 (20060615/ED)

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http://www.cas.org/infopolicy.html

=> s 13

L4 21 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:470196 CAPLUS

DOCUMENT NUMBER: 144:488937

INVENTOR(S): 2006:470196 CAPLUS

INVENTOR(S): 2006:470196 CAPLUS

INVENTOR(S): 2006:470196 CAPLUS

LAWSON, Edward C.7, Maryanoff, Bruce B.

Jamssen Pharmaceutica, N.V., Belg.

PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: 2006:470196 CAPLUS

English

FAMILY ACC. NUM. COUNT: 1

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. WO 2006052962 A2 20060618 WO 2005-US40019

W: AR, AG, AL, AH, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, GR, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, MX, KZ, LC, LK, LR, LS, LT, LU, LV, LY, HA, HD, MG, MK, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SG, SK, SL, SH, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, VM, YU, ZA, 2H, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, ME, SN, TD, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, 2H, ZW, KG, KZ, MD, RU, TU, TH

PRIORITY APPLN. INFO::

GI 20051108 BZ, CA, CH, FI, GB, GD, KN, KP, KR, MN, MW, MX, SC, SD, SE, US, UZ, VC, GR, HU, TR, BF, TG, EV, AM, AZ,

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) L-Phenylaianine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyprolo[2,1-c]-1,2,4-triagol-5-yl]carbonyl]-4-((1-naphthalenylcarbonyl)mmlno]-(SCI) (CA 1,2,4-triaz INDEX NAME)

II

Absolute stereochemistry.

L-Phenylalanine, N-[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazo1-5-yl]carbonyl]-4-((2-naphthalenylcarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-03-9 CAPLUS L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(2-ethoxy-1-naphthalenyl)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-04-0 CAPLUS L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pytrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(9-oxo-9H-fluoren-4-yl)carbonyl]amino]-(9CI) (CA INDEX NAME)

Page 6 saeed

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The invention relates to novel bicyclic triazole amine acid derivs. I [Rl is H, (un) substituted alkyl, aryl or oxo (when Rl is substituent other than oxo, a double bond exists between N and the carbon bearing Rl and when Rl is oxo then R2 is present); R2 is H, alkyl, arylalkyl, heteroarylalkyl; R3 is alkoxy, heterocyclyl, aryl, carbamoyl groups, halo, etc.; R4 is H or alkyl; m is 1 or 2 n is 0-3] or pharmaceutically-acceptable enantiomers, salts, etc., which are useful as e4 integrin receptor antagonists and may be used to treat inflammatory, autoimmune, cell-proliferative and other integrin-mediated disorders. Thus, compound II was prepared by N-acylation of 0-(dimethylcarbamoyl)-1-tyrosine and assayed for inhibition of integrin receptors e4fl and e4fl (ICSO = 3.30 and 1.22 µH, resp.).
874950-92-95 874950-00-05 874950-01-7P
874950-02-99 874950-01-1P 887111-31-1P
887111-32-2P
RL: PAC (Rharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of bicyclic triazole amino acid derivs. as α4 integrin
inhibitors)
874959-99-6 CAPLUS
L-Tyrosine, N-[{(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4triazol-5-yl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-00-6 CAPLUS L-Phenylalanine, 4-{(2,6-dichlorobenzoyl)amino]-N-[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-01-7 CAPLUS

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

Absolute stereochemistry.

874960-10-8 CAPLUS
[1,1'-Biphenyl]-4-propanoic acid, α-[[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazo1-5-yl]carbonyl]amino]-2',6'-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry,

874960-13-1 CAPLUS L-Phenylalanine, 4-{2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-pyridazinyl}-N-[(155)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

887111-31-1 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

887111-32-2 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

874960-18-6P 887111-37-7P 887111-38-8P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic triazole amino acid derivs. as a4 integrin inhibitors)
874960-18-6 CAPLUS
5H-Pyrrolo(2,1-c]-1,2,4-triazole-5-carboxylic acid, 6,7-dihydro-3-(phenylmethyl)-, methyl ester, (5S)- (9CI) (CA INDEX NAME) ΙT

Absolute stereochemistry.

887111-37-7 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2006:23900 CAPLUS DOCUMENT NUMBER: 14:184029 Synthesis and biological evaluations.

144:184023
144:184023
144:184023
Synthesis and biological evaluation of
1,2,4-triazolo[2,3-a]pyrrole derivatives as alpha-4
(a4) integrin antagonists
Lawson, Edward C.; Kinney, William A.; Santulli,
Rosemary J.; Fisher, Carol H.; Damiano, Bruce P.;
Maryanoff, Bruce E.
Vascular Research Team, Johnson and Johnson
Phermaceutical Research and Development, Spring House,
PA, 19477-0776, USA
Letters in Drug Design & Discovery (2005), 2(8),
601-605
CODEN: LDDDAW, ISSN: 1570-1902

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

COLEN: LDDDAW; ISSN: 1570-1808 Benthem Science Publishers Ltd. Journal English

PUBLI SHER:

DOCUMENT TYPE: LANGUAGE:

In exploring for templates to devise novel antagonists for the integrins a481 and a487, was a series of compds, identified possessing a 1,2,4-triazolo(2,3-a)pyrrole structural subunit. Compound I, for example, was found to antagonize a481-VCAM-1 and a487-MACCAM-1 adhesion with ICSO values of 80 and 20 nM, resp. 874959-99-69 874960-00-69 874960-01-19
874950-05-99 874950-03-99 874960-01-19
874950-05-19 874950-10-89 874960-13-19
81. DAC (Pharmacological scriptivit) SPN (Symbatic pagestria) FMM

RL: FAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)

(Uses)
(synthesis and biol. evaluation of triazolo[2,3-a]pyrrole derivs. as
c4-integrin antagonists)
874999-99-6 CAPUUS
L-Tyrosine, N-[([55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4triazol-5-yl]carbonyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Page 7 saeed

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

887111-38-8 CAPLUS INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

Absolute stereochemistry.

874960-00-6 CAPLUS L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

874960-01-7 CAPLUS L-Phenylalanine, N-{{(SS)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl}carbonyl]-4-{(1-naphthalenylcarbonyl)smino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

974960-02-8 CAPLUS L-Phenylalanine, N-[([55]-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-rttazol-5-yl]carbonyl]-4-[(2-naphthalenylcarbonyl)anino]- [9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

874960-03-9 CAPLUS L-Phenylalanine. N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(2-ethoxy-1-naphthalenyl)carbonyl]amino]-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

874960-04-0 CAPLUS L-Phenylalanine, N-[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-criazol-5-yl]carbonyl]-4-[[(9-oxo-9H-fluoren-4-yl)carbonyl]amino]-(9Cl) (CA INDEX NAME)

Absolute stereochemistry.

874960-05-1 CAPLUS
L-Phenylalanine, 4-[[(2,6-dichloro-4-pyridinyl)carbonyl]amino]-N-[{(5S)-6,7-dihydro-3-(phenylnethyl)-5H-pyrrolo(2,1-c]-1,2,4-triazol-5-yl]carbonyl]- (9Cl) (CA INDEX NAME)

ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN L4 (Continued)

44-integrin antagonists)
874960-18-6 CARUS
Sh-Pyrrclo[2,1-c]-1,2,4-triazole-5-carboxylic acid, 6,7-dihydro-3-(phenylmethyl)-, methyl ester, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-24-4 CAPLUS 5H-Pyrrolo[2,1-c]-1,2,4-triazole-5-carboxylic acid, 6,7-dihydro-3-(phenylaethyl)-, (55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-32-4 CAPLUS L-Tyrosine, N-[[(5s)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triszol-5-yl]carbonyl]-, methyl ester, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-33-5 CAPLUS L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Absolute stereochemistry. (Continued)

874960-10-8 CAPLUS
[[1,1'-Biphenyl]-4-propanoic acid, a-[[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]amino]-2',6'-dimethoxy-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-13-1 CAPLUS
L-Phenylelanine, 4-{2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-pyridezinyl}-N[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-18-6P 874960-24-4P 874960-32-4P 874960-32-5P 874960-34-6P 874960-35-7P 874960-36-8P 874960-37-9P 874960-38-0P 874960-43-7P 874960-46-0P RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis and biol. evaluation of triszolo[2,3-a]pyrrole derivs. as

ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

874960-34-6 CAPLUS L-Phenylsianine, N-{[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-{(1-naphthalenylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-35-7 CAPLUS
L-Phenylalanine, N-[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[(2-naphthalenylcarbonyl)amino]-, methyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

874960-36-8 CAPLUS L-Phenylalanine, N-[([\$5]-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-4-[[(2-ethoxy-1-naphthalenyl)carbonyl]amino]- ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN , methyl ester (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

074960-37-9 CAPLUS
L-Phenylalanine, N-[[(5S)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]1,2,4-triazol-5-yl]carbonyl]-4-[[(9-oxo-9H-fluoren-4-yl)carbonyl]amino]-,
methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-38-0 CAPLUS
L-Phenylalanine, 4-[[(2,6-dichloro-4-pyridinyl)carbonyl]amino]-N-[[(5S)-6,7-dibydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

874960-43-7 CAPLUS
[1,1'-Biphenyl]-4-propanoic acid, a-[[[(55)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]amino]-2',6'-dimethoxy-, methyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

874960-46-0 CAPLUS
L-Phenylalanine, 4-{2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-pyridazinyl}-N-{(155)-6,7-dihydro-3-(phenylmethyl)-5H-pyrrolo[2,1-c]-1,2,4-triazol-5-yl]carbonyl]-, methyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:952203 CAPLUS
DOCUMENT NUMBER: 143:398867
TITLE: Synthesis and anticonvulsant a

AUTHOR (5):

CORPORATE SOURCE:

143:39867
Synthesis and anticonvulsant activity of 1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline
Cui, Li-Yingx Xie, Zhi-Feng, Piao, Hu-Ri, Li, Gao; Chai, Kyu-Yun, Quan, Zhe-Shan
College of Phermacy, Yanbian University, Jilin, 133000, Peop. Rep. China
Biological & Pharmaceutical Bulletin (2005), 28(7), 1216-1220

SOURCE:

SOURCE:

Biological & Pharmaceutical Bulletin (2005), 28(7),
1216-1220
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER:
PHARMACEUTICAL SOCIETY OF JAPAN
DOCUMENT TYPE:
LANGUAGE:
AB Starting from 6-hydroxy-3.4-dihydro-1H-quinoline-2-one, a series of
1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinolines was
synthesized and their structures were characterized using IR, IH-NMR, MS,
and elemental anal. techniques. Anticonvulsant activity was evaluated in
the maximal electroshock (MES) test, s.c. pentyleneterized (safet) test,
and rotarod neurotoxicity test. The most active compound was
7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline 4a. Its ED50 in
the MES and schet tests was 17.3 and 24 mg·kg-1, resp. The
safest compound was 4g,
1-phenyl-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]
quinoline, with TD50 and protective index (PI)
greater than 300 mg·kg-1 and 13, resp. The PI value of compound 4g
was better than that of most marketed drugs. Structure-activity
relationships are also described in this paper.
IT 65113-34-65
RL: ADV (Adverse effect, including toxicity) PAC (Pharmacological
activity) SPN (Synthetic preparation), THU (Therapeutic use), BIOL
(Biological study) PREP (Preparation), USES (Uses)
(Synthesis and anticonvulsant activity of 1-substituted-7-benzyloxy-4,5dihydro-[1,2,4]triazolo[4,3-a]quinoline,
RN 867151-34-6 CAPLUS
CN [1,2,4]Triazolo[4,3-a]quinoline, 4,5-dihydro-7-(phenylmethoxy)-1(phenylmethyl)- (SCI) (CA INDEX NAME)

867151-35-7P

RE: PAC (Phermacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)

(Uses)
(synthesis and anticonvulsant activity of 1-substituted-7-benzyloxy-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinoline)
867151-35-7 CAPLUS
[1,2,4]Triazolo[4,3-a]quinoline, 1-[(4-chlorophenyl)methyl)-4,5-dihydro-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
144:467615
Amidines (inidamides) N-substituted by metals,
halogens, oxygen, and other heteroatoms
AUTHOR(S):
CORPORATE SOURCE:
SCIENCE SCIENCE (2005), 22, 489-563
CODEN: SSCYUS
PUBLISHER:
Georg Thieme Verlag
DOCUMENT TYPE:
LANGUAGE:
AB A review of the preparation and synthetic applications of amidine derivs.
IT 433216-35-4P
RL: SPN (Synthetic preparation): PREP (Preparation)
(review preparation and synthetic applications of amidine derivs.)
N 433216-35-4CAPLUS
CN Methanone, (8,9-disthoxy-1,5,6,10b-tetrahydro-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 938 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:489137 CAPLUS DOCUMENT NUMBER: 143:153331

AUTHOR (S):

143:153331
Synthesis of new azolyl azoles and azinyl azoles Al-Saleh, Balkis: El-Apasery, Morsy Ahmed, Elnagdi, Mohamed Hilmy
Department of Chemistry, Faculty of Science,
University of Kuwait, Safat, 13060, Kuwait
Journal of Heterocyclic Chemistry (2005), 42(4),
483-486 CORPORATE SOURCE: SOURCE:

483-486 CODEN: JHTCAD, ISSN: 0022-152X HeteroCorporation Journal English CASREACT 143:153331

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

Synthesis of azolyl azoles and azinyl azoles from the reaction of N-(oxoalkyl)bencotriazoles, -pyridinium bromides, or imidazolium bromides with Ph isothiocyanate is reported. N-(oxopropyl)imidazole reacted with benzene diazonium chloride to yield either phenylhydrazones or the triazoloquinoline I. 860263-61-22

īΤ

860263-61-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of benzoyl(phenyl)dihydrotriazoloquinoline via
 heterocyclization of (benzoylmethyl)quinolinium bromide with
 phenyldiazonium bromide)
860263-61-2 CAPLUS
Methanone, (3,3a-dihydro-3-phenyl[1,2,4]triszolo[4,3-a]quinolin-1-yl)phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
141:54263
111:52263
AUTHOR(S):
2Dang, Chun-bo
CORPORATE SOURCE:
SOURCE:
HUARUS Shiji (2004), 26(1), 45-46
CODEN: HUSHOR: ISSN: 0258-3283
HUARUS Shiji (2004), 26(1), 45-46
CODEN: HUSHOR: ISSN: 0258-3283
FUBLISHER:
DOCUMENT TYPE:
JOURNAT TYPE:
JOURNAI
LANGUAGE:
CHER SOURCE(S):
CASREACT 141:54263
AB Both triazole ring and quinoline ring are basically effective groups in antifungal drug. In order to know the antifungal activities after a triazole ring being added to the quinoline ring, three
4,5-dihydro(1,2,4]triazolo(4,3-a] quinoline derivs. were designed and synthesized. All of their structures were confirmed by MS, IR and HNNR.
TOB983-86-2P
RL: SYN (Synthetic preparation) FREP (Preparation)
(synthesis of 4,5-dihydro(1,2,4)triazolo(4,3-a)quinoline derivs.)
RN 708983-86-2 CAPLUS
CN [1,2,4]Triazolo(4,3-a)quinolin-7-amine, 4,5-dihydro-1-(phenylmethyl)(9CI) (CA INDEX NAME)

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

Chinese CASREACT 141:157079

Two 1-R-7-amino-4,5-dihydro[1,2,4]triazolo[4,3-a]quinoline derivs. I (R = Me or benzyl) were designed and synthesized from aniline via amidation with 3-chloropropancyl chloride, cyclization in the presence of AlCl3 to obtain 3,4-dihydroquinolin-2(lH)-oner nitrifying, hydrogenation and substitution with P2S5 to obtain 7-amino-3,4-dihydroquinolin-2(lH)-thione, further cyclization with RCONHNHZ, provide the title products. 708983-86-2P RL: SPN (Synthetic preparation), PREP (Preparation) (preparation of dihydrotriazoloquinoline derivs.) 708983-86-2 CAPLUS [1,2,4]Triazolo[4,3-a]quinolin-7-amine, 4,5-dihydro-1-(phenylmethyl)-(9CI) (CA INDEX NAME) AB

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L4 ANSWER 8 OF 21
ACCESSION NUMBER:
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TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
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DOCUMENT TYPE:
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OTHER SOURCE(S): MARPAT 140:27832

$$(R^1)_3 \xrightarrow{N-N}_{A \ B \ R^2} \qquad Ph \xrightarrow{Ph}_{R} \qquad Ph$$

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Title compds. I {A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl,
etc. or A, B = taken together are (un) substituted alkylene; RI = H, OH,
halo, alkyl, alkowy, aryl, etc.; R2 = alkyl, alkowy, Ph, etc.; R3 = alkyl,
alkenyl, thioalkowy, aryl, heterocycyly, etc. or R2-3 = taken together
fused 5-6-membered alkyl/aryl ring; are prepared For instance,
2,2-diphenylbutanoic acid is converted to the corresponding hydrazide
(DMF, Et3N, FFFH, HZNN12, 0°, 30 min). 8-Methomy-2,3,4,5,6,7hexahydroazocine is then reacted with the intermediate (DMF, 120°,
overnight) to give II. Example compds. exhibit ICSO < 500 nM for
IIB-hydroxysteroid dehydrogenase-1 (120°,
overnight) to give II. Example compds. exhibit ICSO < 500 nM for
IIB-hydroxysteroid dehydrogenase-1 (120°,
overnight) to give II. Example compds. exhibit ICSO < 500 nM for
IIB-hydroxysteroid dehydrogenase-1 (120°,
overnight) to give II. Example compds. exhibit ICSO < 500 nM for
IIB-hydroxysteroid dehydrogenase-1 (120°,
overnight) to give II. Example compds. exhibit ICSO < 500 nM for
IIB-hydroxysteroid dehydrogenase-1 (120°,
overnight) to give II. Example compds. exhibit ICSO < 500 nM for
IIB-hydroxysteroid dehydrogenase-1 (10°,
hyperqlycenia, obesity, insulin resistance, dylsipidemia, hyperlipidemia,
hypertansion, Syndrome X and other symptoms associated with NIDDH.
633316-62-89 633310-13-59 633310-37-19
633310-03-89 633310-13-69 633701-03-07
633701-03-89 633701-04-99 633701-03-07
633701-39 633701-30-19 633701-13-29
633701-30-99 633701-13-29 633701-13-29
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633701-34-59 63

633316-55-9 CAPLUS 1,2,4-Triazolo(4,3-a)azocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylaropyl)- (9C1) (CA INDEX NAME)

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

633316-57-1 CAPLUS 1,2,4-Triszolo(4,3-e)azocine, 3-[1-(4-cyclohexylphenyl)-1-methylethyl]-5,6,7,8,9,10-hexabydro- (9CI) (CA INDEX NAME)

633316-62-8 CAPLUS
1,2,4-Triazolo[4,3-s]azocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylethyl)- (9CI) (CA INDEX NAME)

633316-72-0 CAPLUS
1,2,4-Triazolo[4,3-a]ezocine, 3-[1-(4-chlorophenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

633316-73-1 CAPLUS
Phenol, 3-[1-(5,6,7,8,9,10-hexahydro-1,2,4-triazolo[4,3-a]azocin-3-yl]-1-methylethyl]- (SCI) (CA INDEX NAME)

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

633701-00-5 CAPLUS
1,2,4-Triazolo(4,3-a)azocine, 3-{bis(4-chlorophenyl)methyl}-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

633701-01-6 CAPLUS 1,2,4-Triazolo[4,3-a]azocine, 3-[bis(4-chlorophenyl)methyl]-5,6,7,8,9,10-hexahydro, mono(trifluoroacetate) (SCI) (CA INDEX NAME)

CRN 633701-00-5 CMF C21 H21 C12 N3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN L4 (Continued)

633701-04-9 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(methoxyphenylmethyl)- (9CI) (CA INDEX NAME)

633701-05-0 CAPLUS
1.2,4-Triazold(4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3(mathoxyphenylmethyl)-, mono(trifluoroacetate) (SCI) (CA INDEX NAME)

CM 1

CRN 633701-04-9 CMF C16 H21 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 02

633701-08-3 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-(fluorophenylmethy1)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

633701-02-7 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-{(IE)-1,2-diphenylethenyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

633701-03-8 CAPLUS 1,2,4-Triazelo(4,3-e)ezocine, 3-[(1E)-1,2-diphenylethenyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 633701-02-7 CMF C22 H23 N3

Double bond geometry as shown.

CH 2

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

633701-09-4 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-(fluorophenylmethyl)-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (SCI) (CA INDEX NAME)

CH 1

CRN 633701-08-3 CMF C15 H18 F N3

CM 2

633701-10-7 CAPLUS
1,2,4-Triazolo[4,3-a]ezocine, 5,6,7,8,9,10-hexahydro-3-(2-methyl-1-phenylbutyl)- (9CI) (CA INDEX NAME)

633701-11-8 CAPLUS 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-(2-methyl-1-

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) phenylbutyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CM 2

633701-12-9 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[1-[4-(2-methylpropyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

633701-13-0 CAPLUS
1,2,4-Triazolo(4,3-a)azocine, 5,6,7,8,9,10-hexahydro-3-[1-{4-(2-methylpropyl)phenyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 633701-12-9 CMF C20 H29 N3

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 02

633701-16-3 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylethyl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

633701-17-4 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phanylethyl]-, mono(trifluoroscetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-16-3 CMF C16 H21 N3

Absolute stereochemistry.

CH 2

CRN 76-05-1 CMF C2 H F3 02

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

CH 2

633701-14-1 CAPLUS 1,2,4-Triazolof4,3-a|azocine, 3-[(ethylthio)diphenylmethyl]-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

633701-15-2 CAPLUS
1,2,4-Triazolo(4,3-a]azocine, 3-[(ethylthio)diphenylmethyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (SCI) (CA INDEX NAME)

CH 1

CRN 633701-14-1 CMF C23 H27 N3 S

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

633701-18-5 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylpropyl]- (9CI) (CA INDEX NAME)

633701-19-6 CAPLUS
1,2,4-Triazolo[4,3-s]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-phenylpropyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

633701-20-9 CAPLUS
1, 2, 4-Triszolo[4, 3-s] szocine, 3-[1-(2-fluoro[1, 1'-biphenyl]-4-yl) ethyl}-

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN 5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME) (Continued)

633701-21-0 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 633701-20-9 CMF C22 H24 F N3

2

633701-22-1 CAPLUS 1,2,4-Trizzolo(4,3-s)azocine, 3-[(15)-1-(2-fluoro[1,1'-biphenyl]-4-y])atbyl]-5,6,7,8,9,10-hexahydro- (9C1) (CA INDEX NAME)

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

633701-25-4 CAPLUS
1,2,4-Triazold;(3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1S)-1-[4-(2-mathylpropyl]phenyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-24-3 CMF C20 H29 N3

Absolute stereochemistry.

CN 2

CRN 76-05-1 CMP C2 H F3 02

633701-26-5 CAPLUS 1,2,4-Triszolo(4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-{(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 633701-27-6 CAPLUS

Page 14 saeed

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

633701-23-2 CAPLUS
1,2,4-Triszolo[4,3-a]ezocine, 3-[(15)-1-(2-fluoro[1,1'-biphenyl]-4-y])ethyl]-5,6,7,8,9,10-hexahydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 633701-22-1 CMF C22 H24 F N3

Absolute stereochemistry.

2

633701-24-3 CAPLUS
1,2,4-Triazolo[4,3-a]szocine, 5,6,7,8,9,10-hexahydro-3-[(15)-1-[4-(2-methylpropyl)phenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(1R)-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 633701-26-5 CMF C16 H21 N3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

Absolute stereochemistry.

633701-28-7 CAPLUS
1,2,4-Triszolo[4,3-a]szocine, 5,6,7,8,9,10-hexahydro-3-[(1R)-1-phenylpropyl]- (9CI) (CA INDEX NAME)

633701-29-8 CAPLUS
1,2,4-Triszolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-[(lR)-1-phenylpropyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 633701-28-7 CMF C17 H23 N3 Absolute stereochemistry.

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CH 2

633701-30-1 CAPLUS 1,2,4-TrizaZold(4,3-a)azocine, 5,6,7,8,9,10-hexahydro-3-(triphenylmethyl)-(5C1) (CA INDEX NAME)

633701-32-3 CAPLUS
1,2,4-Trizzolo[4,3-a] azocine, 3-{1,1-diphenylethyl}-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

633701-34-5 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-(1,1-diphenylhexyl)-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

633701-40-3 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-fluoropheny1)-2-methylpropy1]-5,6,7,8,9,10-hexahydro- (SCI) (CA INDEX NAME)

633701-41-4 CAPLUS 1,2,4-Triazolo[4,3-a]azocine, 3-(1-cyclobutyl-1-phenylethyl)-5,6,7,8,9,10-hawahydro-(9CI) (CA INDEX NAME)

633701-43-6 CAPLUS 1,2,4-Triazolo[4,3-a]azocine, 3-(diphenylmethyl)-5,6,7,8,9,10-hexahydro-(9C1) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

633701-36-7 CAPLUS
1,2,4-Triazolo(4,3-a)azocine, 5,6,7,8,9,10-hexabydro-3-(2-methyl-1-phenylpropyl)- (9CI) (CA INDEX NAME) ,

633701-37-8 CAPLUS
1,2,4-Triszold(4,3-a)azocine, 3-(cyclopentylphenylmethyl)-5,6,7,8,9,10-hexabydro-(9CI) (CA INDEX NAME)

633701-38-9 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 5,6,7,8,9,10-hexahydro-3-{(1E)-1-phenyl-1-propenyl-1 (9C1) (CA INDEX NAME)

Double bond geometry as shown.

633701-39-0 CAPLUS
1,2,4-Triazolo(4,3-a)azocine, 5,6,7,8,9,10-hexahydro-3-{2-methyl-1-{4-methylphenyl)propyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991490 CAPLUS
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11VENTOR(5): 500:00 Capture of the treatment of diabetes, obseity and dyslipidemia olson, Steven H.; Balkovec, James M.; Zhu, Yuping PATENT ASSIGNEE(5): 500:00 Capture of the treatment of diabetes, obseity and dyslipidemia olson, Steven H.; Balkovec, James M.; Zhu, Yuping Merck & Co., Inc., USA
PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent English
FAMILY ACC. NUM. COUNT: 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 140:27831

$$(R^1)_3 \xrightarrow{N-N}_{A \text{ B } R^2} \qquad Ph \xrightarrow{Ph}_{Bt} \qquad \qquad Ph$$

Title compds. I [A = halo, alkyl, Ph, etc.; B = H, halo, alkyl, S-alkyl, etc. or  $\lambda$ , B = taken together are (un)substituted alkylene; Rl = H, OH, halo, alkyl, alkoxy, aryl, etc.; R2 = alkyl, alkoxy, Ph, etc.; R3 = alkyl, alkoxy, thioalkoxy, aryl, heterocyclyl, etc. or R2-3 = taken together

ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) fused 5-6-membered alkyl/aryl ring) are prepd. For instance, 2,2-diphenylbutanoic acid is converted to the corresponding hydrazide (DMF, ETN, FFFM, HZNNEZ, 0', 30 min). 8-Methomy-2,3,4,5,6,7-hexahydroazocine is then reacted with the intermediate (DMF, 120', overnight) to give 11. Example compds. exhibit 1C50 < 500 nM for 118-hydroxysteroid dehydrogenses-1 (118-HSDI). I are useful for the treatment of diabetes, such as noninsulin-dependent diabetes (NIDDM), hyperglycemia, obesity, insulin resistance, dylsipidemia, hyperlipidemia, hypertension, Syndrome X and other symptoms assocd. with NIDDM. 633316-55-98 633316-73-19 RI: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOI (Biological study), PREP (Preparation), USES (Uses)
([Uses])
([Preparation of trizolyl 118-hydroxysteroid dehydrogensse-1 inhibitors for treatment of diabetes, obesity and dyslipidemia) 633316-58-8 CAPLUS
1,2,4-Trizolo[4,3-a]azocine, 3-(1,1-diphenylpropyl)-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

633316-55-9 CAPLUS 1,2,4-Triazolo[4,3-s]szocine, 5,6,7,8,9,10-hexahydro-3-(1-methyl-1-phenylpropyl) - (9C1) (CA INDEX NAME)

633316-57-1 CAPLUS
1,2,4-Triazolo[4,3-a]azocine, 3-[1-(4-cyclohexylphenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 2003:454118 CAPLUS
DOCUMENT NUMBER: 139:17580
TITLE: Combination of a selective PDE4

139:17580
Combination of a selective PDE4 inhibitor and an adrenergic B-2 receptor agonist in treatment of inflammatory diseases Yeadon, Michael Pfizer Linited, UK, Pfizer Inc. PCT Int. Appl., 38 pp. CODEN: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE  WO 2003047578 A1 20030612 WO 2002-184922 20021122  W1 AL, AG, AL, AH, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CG, CR, CU, CZ, DE, DK, DH, DZ, EC, EE, SS, FI, GB, GG, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LY, LY, LY, MA, HD, HG, MK, MN, MW, MK, MZ, NO, NZ, CH, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, AZ, AH, ZU, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CT, CZ, DE, DK, EE, SF, FI, GR, GB, RIE, IT, LU, HC, NL, PT, SS, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GG, GW, HL, MR, NE, SN, TD, TG  CA 2468676 AA 20032612 CA 200221522 S1  EP 1455783 A1 20030612 CA 2002215255 A1 20031016 PZ 20021122  EP 1455783 A1 20030617 AU 2002-353255 20021122  ER AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SK, MC, PT, SP, SD, CZ, CD, SE, SC, ST, SC, SC, ST, SC,																		
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L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

33316-62-8 CAPLUS
,2,4-Triszolo[4,3-a]szocine, 5,6,7,8,9,10-hexshydro-3-(1-methyl-1-henylethyl)- (9CI) (CA INDEX NAME)

633316-72-0 CAPLUS 1,2,4-friazold(4,3-a)azocine, 3-[1-(4-chlorophenyl)-1-methylethyl]-5,6,7,8,9,10-hexahydro- (9CI) (CA INDEX NAME)

633316-73-1 CAPLUS
Phenol, 3-[1-(5,6,7,8,9,10-hexahydro-1,2,4-triazolo[4,3-a]azocin-3-yl)-1-methylethyl|- (9C1) (CA INDEX NAME)

ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The present invention relates to a combination of a selective PDE4
inhibitor, as defined herein, and an adrenergic p-2 receptor agonist
for simultaneous, sequential or sep, administration by the inhaled route
in the treatment of an obstructive airways or other inflammatory disease.
Combined application of p-2 agonists such as formoterol or salmeterol
with a PDE-4 inhibitor such as I produces synergistic inhibition of
proinflammatory neutrophil function.
18594-19-2
BLITHU (Therepautic usa), BOD (Biologica) study), USES (Here)

i85984-19-2
RI: THU (Therapeutic use), BIOL (Biological study), USES (Uses) (combination of a selective PDE4 inhibitor and an adrenergic β-2 receptor agonist in treatment of inflammatory diseases) 185954-19-2 CAPUS SH-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:221514 CAPLUS
138:243317
ITITLE: 158:243317
INVENTOR(S): 158:243317
INVENTOR(S): 5,6-dihydro-9H-pyrazolo(3,4-e)-1,2,4-triazolo(4,3-a)pyridines and a totoropium salt
INVENTOR(S): Humphrey, Michael John, Miller, Paul Robert, Shepherd,
Michael Trevor
PATENT ASSIGNEE(S): Pfire Limited, UK, Pfizer Inc.
PCOEMS: Pixto Limited, UK, Pfizer Inc.
PCOEMS: PIXTO 2
DOCUMENT TYPE: Patent
LANGUAGE: Patent
English
FAMILY ACC. NUM. COUNT: 2 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DATE APPLICATION NO. PATENT NO.

KIND DATE APPLICATION NO.

DATE

VO 2003022279 A1 20030320 W0 2002-183598 20020902

W1 AK, AG, AL, MA, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CK,
CC, CR, CU, CZ, DE, DK, DM, DZ, BE, BG, BR, BY, BZ, CA, CH, CK,
GM, HR, HU, ID, IL, IN, 15, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, ON, NZ, CM, FL,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZA, ZV, AM, AZ, BY, KG, KZ, MD, KT,
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SSE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, ML,
NS, SN, TD, TG
CN 1553801 A1 20030403 US 2002-2365251 20020905
US 2003064034 A1 20030403 US 2002-2365251 20020905
ZA 2004001002 A 20050267 ZA 2004-1002 20040206
GG 108569 A2 20050207 ZA 2004-1002 20040206
GG 108569 A 20050228 BG 2004-1002 20040206
US 2005232871 A1 20051020 US 2005-152741 20050613
PRIORITY APPLN. INFO: PATENT NO. KIND CN 2002-817887 US 2002-236228 US 2002-236551 ZA 2004-1002 BG 2004-108569 US 2005-152741 GB 2001-22031 US 2001-325709P US 2002-236228 20020902 20020905 20020905 20040206 20040209 20050613 A 20010912 P 20010927 A1 20020905 OTHER SOURCE(S): MARPAT 138:243317

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:221511 CAPLUS DOCUMENT NUMBER: 138:243315

138:243315
Inhalation compositions comprising tricyclic
5,6-dihydro-9H-pyrazolo(3,4-c)-1,2,4-triazolo[4,3ajpyridines
Rumphrey, Michael John; Miller, Paul Robert; Shepherd,
Michael Trevor
Pfizer Limited, UK; Pfizer Inc.
PCT Int. Appl., 22 pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

DATE PATENT NO. A1 20030320 APPLICATION NO. W0 2003022275 A1 20030320 W0 2002-1B3599 200020902
W: AE, AG, AL, MH, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DX, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, KR, KZ, CL, LK, LK, LS, LT, LU, LV, HA, HD, MG, HK, HN, HW, MX, HZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH

RWI GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NI, PT, SE, SX, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, HR, KB, SN, TD, TG

CA 2457717 AA 20030320 CA 2002-2457717 20020902
EZ 200400070 A 20040615 EE 2004-78 20020902
EX 1427414 A1 20040616 EF 2002-767763 20020902
EX 1427414 A1 20040616 EF 2002-767763 20020902
EX 1427414 A1 20040616 EF 2002-767763 20020902
US 2003064031 A 20041208 CN 2002-817887 20020902
US 2003064031 A 20041208 CN 2002-817887 20020902
US 2003064031 A1 20030403 US 2002-236228 20020902
US 2003064031 A1 20030403 US 2002-236228 20020902
US 2003064031 A1 20030403 US 2002-236551 20020905
US 2003064031 A1 20030403 US 2002-236551 2000400101 A 20040310 US 2004-1011 20040310
US 2005-232871 A1 20050613 A 20010912 WO 2002-1B3599 WO 2003022275 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 138:243315

ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
The present invention relates to an inhaled formulation comprising a
combination of a compound selected from a particular class of
5,6-dihydro-SM-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridines and a
tiotropium salt or solvate thereof, which is capable of delivering the
compound as fine, solid particles to the lung. The invention also relates
to the use of such a formulation in the treatment of certain diseases such
as respiratory diseases. By the use of such formulations, it is possible
to eliminate the unwanted cough response associated with the use of the
compods. In solution matered dose inhalers, which response can prevent the
administration of a therapoutically ED and, in the long term, undermine
patient compliance. Dry powder inhaler capsules were prepared containing I

lactose monohydrate.

185934-19-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhalation compns. comprising tricyclic 5,6-dihydro-9H-pyrazolo(3,4-c)-1,2,4-triazolo(4,3-a)pyridines and a tiotropium salt)

185954-19-2 CAPIUS
5H-Pyrazolo(3,4-c]-1,2,4-triazolo(4,3-a)pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

The present invention relates to an inhaled formulation comprising a compound selected from a particular class of 5,6-dihydro-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridines which is capable of delivering the compound as fine, solid particles to the lung and the use of such a formulation in the treatment of certain diseases such as respiratory diseases. By the use of such formulations, it is possible to eliminate the unwanted cough response associated with the use of these compds. in solution metered dose inhalers, which response can prevent the administration of a therapeutically ED and, in the long term, undermine patient compliance. A dry powder inhaler capsule was prepared containing micronized I and lactose monhydrate.
185954-19-2
RL: THU (Therapeutic use); BIOI. (Biological study); USES (Uses)
(inhalation compns. comprising tricyclic 5,6-dihydro-9H-pyrazolo[3,4-c)-1,2,4-triazolo[4,3-a]pyridines)
185954-19-2 CAPLUS
SH-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:927247 CAPLUS
DOCUMENT NUMBER: 138:16606
Combination of a PDE4 inhibitor and tiotropium for treating obstructive airways and other inflammatory diseases
INVENTOR(S): Yeadon, Michael; Armstrong, Roisin A.; Watson, John W.
BOOKINGE: 100EN: PIXXD2
DOCUMENT TYPE: PATENT ACC. NUM. COUNT: 1

English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION: COUNT:

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ro 20	02	0964	23		A2		2002	1205		WO 2	002-	EP56	43		2	0020	523
ro 20	02	0964	23		A3		2003	0206									
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		α,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR.	HU.	ID.	IL.	IN.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.	LK.	LR.
		LS,	LT,	LU,	LV,	MA,	MD,	MG.	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH.
		PL,	PT.	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ.	TM,	TN,	TR.	TT,	TZ,
		UA,	UG,	US,	UZ.	VN.	YU,	ZA.	ZM.	ZW			•		•		
R	٧:	GH.	GM.	KE.	LS.	MV.	MZ.	SD.	SL.	SZ.	TZ.	UG.	ZM.	Z¥.	AT.	BE.	CH.
A 24	48	363	•		AA		2002	1205	- 1	CA 2	002-	2448	363		2	0020	523
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R	:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
P 20	04	5307	05		T2		2004	1007		JP 2	002-	5929	33		2	0020	523
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									1	US 2	001-	3038	45P		2	0010	709
									1	WO 2	002-	EP56	43	1	2	0020	523
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INFO:	70 2002096423 A2 20021205 V0 2 70 2002096423 A3 20030206 V1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, CO, CR, CU, CZ, DE, DX, DH, DZ, EC, GH, HR, HU, ID, IL, IN, IS, JP, KE, LS, LT, LU, LV, MA, HD, MG, MK, MM, PL, PT, RO, RU, SD, SE, SG, SI, SK, VI, UG, US, UZ, VN, YU, ZA, ZH, ZY RV: GH, GH, KE, LS, HV, MZ, SD, SL, SZ, CY, DE, DX, ES, FI, FR, GB, GR, IE, CA 2448363 AA 20021205 CA 2 EN 2002214102 A1 20021209 AU 2 EN 1397135 A2 20040317 EP 2 EN AT, BE, CH, DE, DX, ES, FR, GB, GR, IE, SI, LT, LV, FI, RO, MK, CY, AL, FI 2004530705 T2 20041007 JP 2 STY APPLN. INFO::	70 2002096423 A2 20021205 W0 2002- 70 2002096423 A3 20030206  V1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, UA, UG, US, UZ, VM, VU, 2A, 2H, ZW RW: GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, CM, DE, DK, ES, FI, FR, GB, GR, IE, IT, BF, BJ, CF, CG, CI, CH, GA, GN, GG, GW, UZ, COMBON AD 20021205 CA 2002-101205 CA 2002-1	70 2002096423 A2 20021205 WD 2002-RP56 70 2002096423 A3 20030206 W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, GM, HR, HU, ID, IL, IH, IS, JP, VER, KG, KP, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, UA, UG, US, UZ, VN, TU, 2A, 2H, 2W RW: GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, CT, DE, DK, ES, PI, FR, GB, GR, IE, IT, LU, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML TABLE 1397135 A2 20040317 EF 2002-7406 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, IE, SI, IT, LV, FI, RO, MK, CY, AL, TR PZ 2004530705 T2 20041007 US 2001-2935 TY APPIN. INFO::	70 2002096423 A2 20021205 W0 2002-EP5643 70 2002096423 A3 20030206 V1 AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BB, BY, CO, CR, CU, CZ, DB, DK, DM, DZ, EC, EE, ES, FI, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, CH, CH, CH, CH, CH, CH, CH, CH, CH, CH	70 2002096423 A2 20021205 VO 2002-EF5643 70 2002096423 A3 20030206 VI AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, PL, FT, RO, RU, SD, SE, SG, ST, SK, SL, TJ, TH, TM, W, UG, US, UZ, VN, YU, 2A, 2H, 2W CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML, MR, NE, A2 448363 AA 20021205 CA 2002-2448363 RI AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, IE, SI, ILT, LV, FI, RO, MK, CY, AL, TR P2 204530705 T2 20041007 JF 2002-376578 TY APPLN. INFO:	70 2002096423 A2 20021205 W0 2002-RP5643 2 70 2002096423 A3 20030206 W1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CO, CR, CII, CZ, DE, DX, DM, DZ, EC, EF, ES, F1, GB, GD, GM, HR, SHJ, ID, IL, IN, IS, JF, KE, KG, KF, KR, XZ, LC, LS, LT, LU, LV, MA, HD, MG, MK, HN, HW, HX, HZ, NO, NZ, FL, FT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TA, UG, US, UZ, VN, YU, ZA, ZH, ZY RW: GH, GM, KE, LS, HV, MZ, SD, SS, SG, SI, SK, SL, TJ, TM, TN, TA, CT, LD, ED, EX, ES, F1, FR, GB, GR, IE, IT, LU, MC, NL, PT, CT, DB, DX, ES, F1, FR, GB, GR, IE, IT, LU, MR, NE, SN, AB, CD, CD, CD, CD, CD, CD, CD, CD, CD, CD	70 2002096423 A2 20021205 WO 2002-EP5643 200201 WY: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DH, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, HX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RY: GH, GM, KE, LS, HW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, CA 2448363 AA 20021205 CA 2002-2448363 200201 RI AT, BE, CH, DE, DK, ES, FR, GR, GR, IT, LI, LU, NC, SE, MC, IE, SI, LT, LV, FI, RO, KG, CY, ML, TR FZ 2004530705 T2 20041007 JP 2002-340539 200201 FF 20045107420 A1 2005519 US 2003-715177 200311

US 2001-303845P P 20010709
WD 2002-EP5643 W 20020523
OTHER SOURCE(5): MARPAT 138:16606
AB The present invention relates to a combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprising a PDEIV inhibitor that is effective in the treatment of the above diseases when administered by inhalation together with an anti-cholinergic agent selected from the group consisting of tiotropium and derivs. A method of treating the obstructive airways and other inflammatory diseases comprises administering by inhalation an effective amount of the above combination of agents and a package containing a composition for insertion into a device capable of simultaneous or sequential delivery of the pharmaceurical composition in the form of an aerosol or a dry powder dispersion to the mammal, where the device is a metered dose inhaler or a dry powder inhaler. The anti-cholinergic agent component may be tiotropium bromatie. A package in the form of a pressurized, tetrafluoroethylens-coated aluminum canister for use in a metered dose inhaler is prepared which its sufficient to provide shout 200 actuations of the inhaler, each actuation providing about 20 µg each active

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2002:127037 CAPLUS COPYRIGHT 2006 ACS ON STN 2002:127037 CAPLUS 137:6130 New routes to fused isoquinolir Aved, Raas M., Elvan, Nehal M.,

137:6130
New routes to fused isoquinolines
Awad, Enas M., Elvan, Nehal M., Hassaneen, Hamdi M.,
Linden, Anthony, Heimpartner, Heinz
Department of Chemistry, Faculty of Science,
University of Cairo, Gize, Epypt
Helvetica Chimica Acta (2002), 85(1), 320-332
CODEN: HCACAV; 158N: 0018-019X
Verlag Helvetica Chimica Acta CORPORATE SOURCE: SOURCE:

PUBLI SHER:

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S):

UNGE: English
R SOURCE(S): CASREACT 137:6130
Treatment of 6,7-diethoxy-3,4-dihydroisoquinoline and its 1-He derivative

Treatment of 6,7-diethoxy-3,4-dihydroisoquinoline and its 1-Me derivative with hydrazonoyl halides in the presence of Et3N in THF under reflux afforded the corresponding 5,6-dihydro-1,2,4-triazolo[3,4-a]isoquinolines in high yield. The products are formed via regioselective 1,3-dipolar cycloaddn. of the intermediate nitrilinines with the isoquinoline C:N bond. Reaction of 6,7-diethoxy-3,4-dihydroisoquinoline-1-acetonitrile with Et a-cyanocinnamates [II] in the presence of piperidine in refluxing MeCN yielded benzo[a]quinolizin-4-ones. Under the same conditions, I and arylidene malononitriles [III] reacted to give benzo[a]quinolizin-4-imines. Instead of II and III, mixts. of an aromatic aldehyde, and Et cyanoacetate or malononitrile, resp., can be used in a one-pot reaction.

433216-44-5P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of fused isoquinolines)
433216-35-4 CAPLUS
Hethanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl]phenyl- (9CI) (CA INDEX NAME)

433216-36-5 CAPLUS

Methanons, (8)-9-diethoxy-1,5,6,10b-tetrahydro-1-(4-methylpheny1)-1,2,4triazolo[3,4-a]isoquinolin-3-yl]pheny1- (9CI) (CA INDEX NAME)

ANSVER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ingradient. The contents of each canister are as follows:
9-cyclopenty1-5,6-dihydro-7-ethy1-3-(2-thieny1)-9H-pyrazolo[3,4-c]-1,2,4triazolo[4,3-a]pyridine, tiotropium bromide, dichlorodifluoromethane,
dichlorotetrafluoroethane, trichloromonofluoromethane, and soya lecithin.
185984-19-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of PDE4 inhibitor and tiotropium for treating obstructive
airways and inflammatory diseases)
185934-19-2 CAPLUS
5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopenty1-7-ethy16,9-dihydro-3-(phenylmethy1)- (SCI) (CA INDEX NAME)

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

433216-43-4 CAPLUS
Methanone, (8,9-diethoxy-1,5,6,10b-tetrahydro-10b-methyl-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)phenyl- (9CI) (CA INDEX NAME)

433216-44-5 CAPLUS Methanone, [8,9-diethoxy-1,5,6,10b-tetrahydro-10b-methyl-1-(4-methylphayl)-1,2,4-triszolo[3,4-s]isoquinolin-3-yl]phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 5-(butylamino)-N,N-diethyl-9-(phenylmethyl)- (9CI) (CA INDEX NAME)

327160-39-4 CAPLUS
[1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide,
N,N-diethyl-5-[(2-methylpropyl)amino]-9-(phenylmethyl)- (9CI) (CA INDEX

327160-41-8 CAPLUS
[1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide,
5-(cyclohexylamino)-N,N-diethyl-9-(phenylmethyl)- (9CI) (CA INDEX NAME)

327160-44-1 CAPLUS
[1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide,
5-(cyclohexylamino)-9-(phenylmethyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:994113 CAPLUS

DOCUMENT NUMBER: 134:187831

TITLE: 1,8-Naphthyridines IV. 9-Substituted

N.N-dtalkyl-5-(alkylamino or cycloalkylamino)

(1,2,4)triazolo(4,3-a](1,8)naphthyridine-6
carbowamides, new compounds with anti-aggressive and potent anti-inflammatory activities

Roma, Giorgion Di Braccio, Hario, Grossi, Giancarlo; Mattioli, Francasca; Ghia, Harco

CORPORATE SOURCE: Dipartimento di Scienze Parmaceutiche, Universita di Genova, Genoa, 16132, Italy

SOURCE: Entropean Journal of Medicinal Chemistry (2000), 35(11), 1021-1038; 0223-5234

PUBLISHER: Editions Scientifiques et Hedicales Eisevier Journal

AUTHORY TYPE: Journal

LANGUAGE: English

COMENT TYPE: Journal

ASSEACT 134:187831

AB The title compds. vere synthesized through the cyclocondensation of the corresponding N-substituted 4-amino-2-chloro-1,8-naphthyridine-3
carboxamides with the corresponding hydrazides, in order to evaluate their anti-inflammatory and anti-aggressive properties. Several compds. exhibited high enti-inflammatory activity (carrageenli-induced paw edema assay in the rat) along with appreciable anti-aggressive properties (isolation-induced aggressiveness test in mice). With respect to anti-inflammatory activity, the most active compds. produced as 61% edema inhibition at the 25 mg/kg dose, and 50 or 35% inhibition, resp., at the 12.5 mg/kg dose. The structure-activity relationships are discussed.

IT 327160-29-29 272160-31-69 327160-33-69

327160-41-69 327160-346-19

RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified), SFN (Synthetic preparation); BIOL (Biological study, PREP (Preparation) (preparation and anti-aggressive and anti-inflammatory activities of disalkyl(alkyl-or cycloalkylamino) (responsation and anti-aggressive and anti-inflammatory activities of disalkyl(alkyl-or cycloalkylamino) (9CI) (CA INDEX NAME)

327160-31-6 CAPLUS [1,2,4]Triazolo[4,3-a][1,8]naphthyridine-6-carboxamide,

ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21
ACCESSION NUMBER:
DOCUMENT NUMBER:
1997:94069 CAPLUS
126:104095
171TLE:
172:104:104095
173:104096
174:104096
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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		9639								_								
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	NO	9602 9602 9654 6948 9604 1919 2872	320			Ä		1996	1209	N	0	1996-	2320			19	9606	505
	AU	9654	773			A1		1996	1219	A.	U	1996-	5477	3		19	9606	505
	AU	6948	71			B2		1998	0730									
	ZA	9604	649			A		1997	1205	2.	А	1996-	4649			19	9606	605
	KR	1919	72			B1		1999	0615	ĸ	R	1996- 1996- 1996- 1996-	2016	•		19	9606	505
	CZ	2872	51			В6		2000	1011	c	z	1996-	1626			19	9606	505
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	CN	1142 1061 1158 9602 932	499			Α		1997	0212	C	N	1996-	1076	30		19	9606	606
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	RO	1158	81			B1		2000	0728	R	0	1996- 1996- 1996-	1157			19	9606	606
	HR	9602	68			B1		2002	1231	н	R	1996-	9602	58		19	9606	506
	AP	932				A		2001	0202	A.	P	1996-	849			19	9608	26
		W:	GM,	BW,	KE,	MW,	UG,	ZM,	ZV									
	FI	9704	434			Α		1997	1205	F	1	1997-	4434			19	9712	:05
	FI	9704 1140 6004 2257	97			B1		2004	0813									
	US	6004	974			A		1999	1221	U:	s	1998- 1998- 1995-	9735	0		19	9803	27
	KR	2257	19			B1		1999	1015	K	R	1998-	44720	)		19	9810	24
D T	ORITY	APP	LN.	INFO.	. :					C.	A	1995-	2223	524	,	19	9506	606
															,			

ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 9-cyclopentyl-7-ethyl-6,9-dihydro-q-phenyl- (9CI) (CA INDEX NAME)

PR

185954-25-0 CAPLUS
5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-3-[(3,4-dimethoxyphenyl)methyl)-7-ethyl-6,9-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN WO 1995-1B429 HU 1996-1541 KR 1996-20169 (Continued) A 19950606 A 19960605 A 19960605

OTHER SOURCE(S): MARPAT 126:104095

AB The title compds. [I, Ri = H, Cl-6 alkyl, Cl-6 alkoxy, etc.; R2, R3 = H, Cl-14 alkyl, C2-14 alkenyl, etc.; R4, R5 = H, Cl-6 alkyl, Cl-6 alkoxy, etc.], useful in treating an inflammatory condition, asthma, arthritis, bronchitis, chronic obstructive airways disease, sociasis, allergic rhinitis, dermatitis as well as AIDS, septic shock and other disease, such as cachexis, were prepared Thus, reaction of 1-cyclopentyl-4,5-61hydro-3-ethyl-7-methylthio-HH-pyrazolo[3,4-c]pyridine with nicotinic acid hydrazide in pyridine afforded I [Ri = Etr R2 = 3-pyridyl; R3 = cyclopentyl; R4, R5 = H]. In general, compds. I are effective at 0.3-5 mg/kg/day.

IT 185954-19-2P 185954-24-9P 185954-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of tricyclic 5,6-dihydro-9H-pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a] pyridine; Production of tumor necrosis factor (TNF))

RN 185954-19-2 CAPIUS
CN 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine, 9-cyclopentyl-7-ethyl-6,9-dihydro-3-(phenylmethyl) - (9CI) (CA INDEX NAME)

185954-24-9 CAPLUS 5H-Pyrazolo[3,4-c]-1,2,4-triazolo[4,3-a]pyridine-3-methanol,

L4 ANSWER 17 OF 21
ACCESSION NUMBER:
1996:154163 CAPLUS
DOCUMENT NUMBER:
124:289374
Synthesis of [1,2,4]triazolo[3,4-a]isoquinolines and pyrrolo[2,1-a]isoquinolines using a-keto hydrazonoyl halides
AUTHOR(5):
AUTHOR(5):
Elwan, Nehal M., Abdelhadi, Hyam A.; Abdallah, Taysser A.; Hassaneen, Hamdi M.
Faculty Science, University Cairo, Giza, Egypt
Tetrahedron (1996), 52(10), 3451-6
CODEN: TETRAB; ISSN: 0040-4020
Elsevier
Journal
LANGUAGE:
LANGUAGE:
LANGUAGE:
English

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

English CASREACT 124:289374

Treatment of α-keto hydrazoncyl halides RCOCX:NNHAr (R = Me, Ar = Ph, 4-MecGH4; R = Ar = Ph; R = 2-naphthyl, Ar = Ph, 4-MecGH4; R = 2-thisnyl, Ar = Ph, 4-MecGH4; R = 2-thisnyl, Ar = Ph, 4-MecGH4; R = 2-thisnyl; Ar = Ph, 4-MecGH4; R = 1. But in the structure I (RI = Me) in the presence of trickylamine in THF at reflux afforded the corresponding cycloadducts II (RI = H, Me), resp. The same halides, RCOCX:NNHAr, react with 1-cysnomethyl-3,-4-dhydro-6,7-dimethoxyisoquinoline I (RI = CHZCN) and afforded pyrrolo[2,1-alisoquinoline derivs. III in high yield.
175731-39-2P 175731-44-9P 175731-45-0P
XL: SPN (Synthetic preparation) PREP (Preparation)
(synthesis of triazoloisoquinolines and pyrroloisoquinolines using a-keto hydrazoncyl halides)
175731-39-2 CAPLUS
Methanone, phenyl(1,5,6,10b-tetrahydro-8,9-dimethoxy-1-phenyl-1,2,4-triazolo[3,4-alisoquinolin-3-yl]-, (R)- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

175731-44-9 CAPLUS
Methanone, phenyl(1,5,6,10b-tetrahydro-8,9-dimethoxy-10b-methyl-1-phenyl-1,2,4-triazolo[3,4-a]isoquinolin-3-yl)-, (R)- (9CI) (CA INDEX NAME)

175731-45-0 CAPLUS
Methanone, phenyl[1,5,6,10b-tetrahydro-8,9-dimethoxy-10b-methyl-1-(4-methylphenyl)-1,2,4-triazolo[3,4-a]isoquinolin-3-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

L4 NSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1584:472732 CAPLUS
DOCUMENT NUMBER: 101:72732
TITLE: Derivatives of the 5,6-dihydro-4H-s-triazolo[4,3-e]-1-

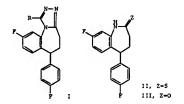
Derivatives of the 5,5-dipydro-4H-9-triazolo(4,3-benzazepine Vejdelek, Zdenek; Protiva, Hiroslav; Hatys, Jan Czech. Czech. Czech. 4 pp. CODEN: CZXXXA9

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

Patent Czech 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

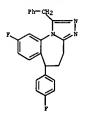
PATENT NO. KIND DATE ---- 19810 APPLICATION NO. DATE CS 208509
PRIORITY APPLM. INFO.:
OTHER SOURCE(S):
GI CS 1980-3988 CS 1980-3988 19800605 19810915 A 19800605 CASREACT 101:72732



Seven I (R = alkyl, alkoxyalkyl, alkylthioalkyl, aryl, aralkyl, pyridyl) were prepared in 70-93% yield by refluxing II with RCONENNE in BuOH for 24-34 h under N2 and purified by chromatog, on Al203. II was prepared by refluxing III 45 min with P255 in pyridine under N2. In biol. tests, I (R = He), I (R = CH20Me), and I (R = 3-pyridyl) extended thiopental sleep of mice and had spassmolytic activity, I (R = Et) showed antireserpine effect, and I (R = Ph) decreased locomotoric activity of mice and had antispasmic effect.
77796-14-69
RL: SPN (Synthetic preparation), PREP (Preparation)
[preparation of)
77796-14-6 CAPLUS
4H-(1.2, 4] Telazolo[4,3-a][][benzazepine, 9-fluoro-6-(4-fluorophenyl)-5,6-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

IT

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:

1981:407163 CAPLUS
95:7163
Benzocycloheptenes and heterocyclic analogs as potential drugs. XVI. Synthesis and pharmacological screening of 1-[2-tert-mainoethyl]-8-fluoro-5-[4-fluorophenyl]-2, 3, 4,5-tetrahydro-IN-1-benzazpines, their 1-[aminoacetyl] analogs and 1-substituted 9-fluoro-6-[4-fluorophenyl]-3, 6-dihydro-IN-1-benzazpines vigicles. Zdenek: Svatek, Emil: Holubek, Jiri: Metys, Jan: Bartosgva, Marie: Protiva, Miroslav Res. Inst. Pharm. Biochem. Prague, 130 60/3, Czech. Collection of Czechoslovak Chemical Communications (1981), 46(1), 148-60 (CODEN: CCCCAK; ISSN: 0366-547X

DOCUMENT TYPE:
LANGUAGE:
GI

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

7-Fluoro-4-(4-fluorophenyl)-1-naphthylamine was identified as a by-product in the transformation of 7-fluoro-4-(4-fluorophenyl)-1-tetralone oxime to the lactam I (X = 0). Reaction of I (X = H2) with ClCH2COCl gave the N-chloroacetyl derivative which was treated with secondary amines to give

aminoactyl derivs. Reduction of the latter with LiAlH4 afforded the aminoactyl derivs. Reaction of I (X = 0) with F2SS gave I (X = S) which was treated with acid hydrazides to give II (R = Me, Et, CH2OMe, CH2SNe, Ph, CH2Ph, 3-pyridyl). Some of the compde, exhibited anticonvulsant and central depressant effects at relatively high doses in various tests (LD and ED given).
77796-14-6P
RIL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)
77796-14-6 CAPLUS
4H-[1,2,4]Triazolo[4,3-a][]]benzazepine, 9-fluoro-6-(4-fluorophenyl)-5,6-

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1977:552143 CAPLUS
DOCUMENT NUMBER: 87:152143
TITLE: Fused triazinone derivatives

AUTHOR (S): CORPORATE SOURCE:

87:152143 Fused triazinone derivatives Moehrle, Hans; Hemmerling, Hans Joerg Inst. Pharm., Freie Univ. Berlin, Berlin, Fed. Rep.

SOURCE:

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S):

PORATE SOURCE:

Inst. Pharm., Freie Univ. Berlin, Berlin, Fed. Rep.
Ger.
Archiv der Pharmazie (Weinheim, Germany) (1977),
310(7), 588-600
CODEN: ARPHAS; ISSN: 0365-6233
JOURNAI
SUNCE:
SUNCE(S):
CASRARCT 87:152143
For diagram(s), see printed CA Issue.
The Hg(II)-EDTA dehydrogenation of the hydrazide I (n = 1) gave II (n = 1)
and its hydrolysis product III, whereas I (n = 2, 3) gave IV and
morpholinophenylacetylhydrazine did not undergo dehydrogenation. II (n = 2, 3) dependent of the properties of the properties

17 eazso-93-5P 64256-94-6P RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (preparation and oxidation of) 64256-93-5 CAPUIS 1.2,4-Trizozolo(4.3-a)pyridine-3-methanol, 5,6,7,8-tetrahydro-a-phenyl- (9CI) (CA INDEX NAME)

64256-94-6 CAPLUS 5H-1,2,4-Triazolo[4,3-a]arepine-3-methanol, 6,7,8,9-tetrahydro-α-phenyl- (9CI) (CA INDEX NAME)

IT 64256-95-7P 64256-96-8P

RL: SPN (Synthetic preparation), PREP (Preparation) (preparation of) 64256-95-7 CAPLUS

Methanone, phenyl (5,6,7,8-tetrahydro-1,2,4-triazolo[4,3-a]pyridin-3-yl)-(9CI) (CA INDEX NAME)

ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME) (Continued)

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

64256-96-8 CAPLUS
Methanone, phenyl(6,7,8,9-tetrahydro-5H-1,2,4-triazolo(4,3-a)azepin-3-yl)-(9C1) (CA INDEX NAME)

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1975:43212 CAPLUS

DOCUMENT NUMBER: 22:43212

SYNTHESIS OF psychoactive sulfur analogs of indoles

Number of psychoactive sulfur analogs of psychoactive sulfur analogs of indoles

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